

09/632,928

=> d his

(FILE 'CAPLUS' ENTERED AT 07:27:01 ON 07 JAN 2004)
DELETE HIS

FILE 'REGISTRY' ENTERED AT 08:26:15 ON 07 JAN 2004

L1 STRUCTURE uploaded

L2 1 S L1

L3 504 S L1 FUL

L4 STRUCTURE uploaded

L5 0 SEARCH L4 SSS SUB=L3 FUL

L6 STRUCTURE uploaded

L7 0 S L6

FILE 'BEILSTEIN' ENTERED AT 08:33:57 ON 07 JAN 2004

L8 0 S L6 FUL

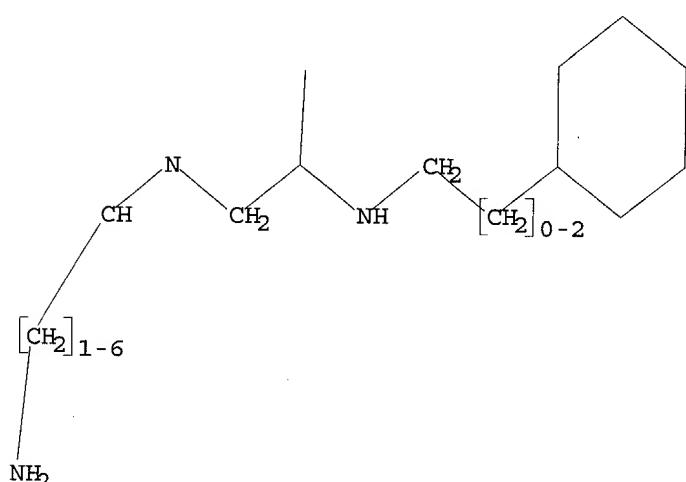
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L9 2 S L3

=> d 11

L1 HAS NO ANSWERS

L1 STR

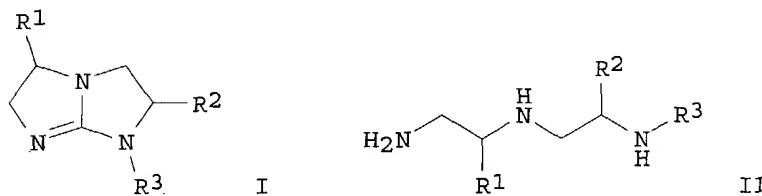


Structure attributes must be viewed using STN Express query preparation.

=> d bib abs 1-2

L9 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:122935 CAPLUS
DN 136:184117
TI Preparation of triamine derivative melanocortin receptor ligands
IN Watson-Straughan, Karen J.; Gahman, Timothy C.; Qi, Ming; Hamashin, Christa; MacDonald, James E.; Green, Michael J.; Holme, Kevin R.; Griffith, Michael C.
PA Lion Bioscience A.-G., Germany
SO PCT Int. Appl., 169 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002012166	A2	20020214	WO 2001-EP8417
	WO 2002012166	A3	20020418	20010720
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	AU 2001072555	A5	20020218	AU 2001-72555 20010720
PRAI	US 2000-632928	A	20000804	
	WO 2001-EP8417	W	20010720	
OS	MARPAT 136:184117			
AB	Triamine deriv. melanocortin (MC) receptor ligands R2R3NCH2CHR1NHCH2(CH2)nR [R = (un)substituted Ph or cyclohexyl; n = 0-2; R1 = H, (un)substituted alkyl, phenylalkyl, naphthylalkyl; when R2 is absent, R3 together with the attached nitrogen form a substituted heterocycle or cyclic alkylene; when R2 is H or (un)substituted alkyl, R3 is X(Y)CH, where X is H, (un)substituted alkyl, phenylalkyl, Ph or naphthyl and Y is Z(CH2)n (n = 1-6, Z = amino or protected amino)] or their pharmaceutically acceptable salts were prep'd. Data for libraries of triamine derivs. and starting materials are tabulated. E.g., Boc-Asp(OFm)-OH (Boc = tert-butoxycarbonyl, Fm = 9-fluorenylmethyl), Boc-Tyr(Et)-OH, 4-BrC6H4CH2CO2H, and cyclopropylamine (c-C3H5NH2) were applied to the synthesis of H2NCH2CH(CH2CH2NHC3H5-c)NHCH2CH(CH2C6H4OEt-4)NHCH2CH2C6H4Br-4. The triamine derivs. of the invention exhibit a range of affinities and specificity for various MC receptors.			
L9	ANSWER 2 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN			
AN	1998:716666 CAPLUS			
DN	130:81852			
TI	Solid-Phase Synthesis of Trisubstituted Bicyclic Guanidines via Cyclization of Reduced N-Acylated Dipeptides			
AU	Ostresh, John M.; Schoner, Christa C.; Hamashin, Vince T.; Nefzi, Adel; Meyer, Jean-Philippe; Houghten, Richard A.			
CS	Torrey Pines Institute for Molecular Studies, San Diego, CA, 92121, USA			
SO	Journal of Organic Chemistry (1998), 63 (24), 8622-8623			
PB	CODEN: JOCEAH; ISSN: 0022-3263			
DT	American Chemical Society			
LA	Journal			
GI	English			



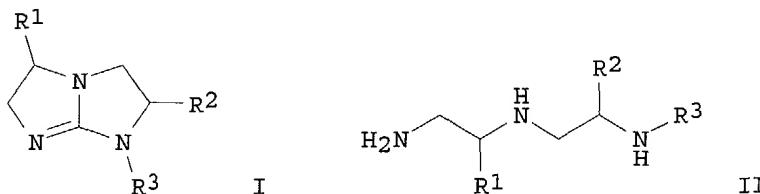
AB A novel method for the solid-phase synthesis of trisubstituted bicyclic guanidines I ($R_1 = CH_2Ph, Me, CH_2CHMe_2, Pr; R_2 = CH_2Ph, Me, Pr; R_3 = CH_2CH_2Ph, Bu, Et$) is presented. The initial reaction step involves the exhaustive redn. of resin-bound N-acylated dipeptides

R₃CONHCHR₂CONHCHR₁CONHR (R = polymer support) using borane-THF, followed by cyclization of the resulting triamine with thiocarbonyldiimidazole to generate resin-bound trisubstituted bicyclic guanidines. Cleavage from the resin using HF yields the desired trisubstituted bicyclic guanidines in excellent yield and purity. The approaches described enable efficient high-yield and purity syntheses of either polyamines II or bicyclic guanidines. These methods were applied to the synthesis of both individual compds. and combinatorial libraries.

RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d bib abs hitstr 19 2

L9 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1998:716666 CAPLUS
DN 130:81852
TI Solid-Phase Synthesis of Trisubstituted Bicyclic Guanidines via
Cyclization of Reduced N-Acylated Dipeptides
AU Ostresh, John M.; Schoner, Christa C.; Hamashin, Vince T.; Nefzi, Adel;
Meyer, Jean-Philippe; Houghten, Richard A.
CS Torrey Pines Institute for Molecular Studies, San Diego, CA, 92121, USA
SO Journal of Organic Chemistry (1998), 63(24), 8622-8623
CODEN: JOCEAH; ISSN: 0022-3263
PB American Chemical Society
DT Journal
LA English
GI



AB A novel method for the solid-phase synthesis of trisubstituted bicyclic guanidines I ($R_1 = CH_2Ph$, Me, CH_2CHMe_2 , Pr; $R_2 = CH_2Ph$, Me, Pr; $R_3 = CH_2CH_2Ph$, Bu, Et) is presented. The initial reaction step involves the exhaustive redn. of resin-bound N-acylated dipeptides $R_3CONHCHR_2CONHCHR_1CONHR$ (R = polymer support) using borane-THF, followed by cyclization of the resulting triamine with thiocarbonyldiimidazole to generate resin-bound trisubstituted bicyclic guanidines. Cleavage from the resin using HF yields the desired trisubstituted bicyclic guanidines in excellent yield and purity. The approaches described enable efficient high-yield and purity syntheses of either polyamines II or bicyclic guanidines. These methods were applied to the synthesis of both individual compds. and combinatorial libraries.

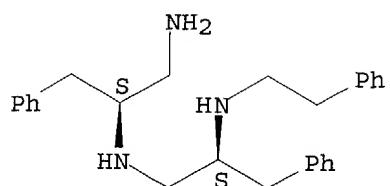
IT 218931-05-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(solid-phase synthesis of trisubstituted bicyclic guanidine and linear triamine combinatorial libraries via cyclization and redn. of acylated dipептиde libraries)

BN 218931-05-6 CAPLUS

KN 218551-05-0 CA INDEX
CN 1,2-Propanediamine, N1-[(1S)-1-(aminomethyl)-2-phenylethyl]-3-phenyl-N2-(2-phenylethyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

09/632,928



*excluded
with proviso*

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ALL CITATIONS AVAILABLE IN THE RE FORMAT

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